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Biogenic polypeptide-polyester ionic conjugates - used for controlled

sustained release of polypeptide drug, e.g. from injectable microparticles

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CONSEILS RECH & APPL SCI (SCRC); IPSEN MFG IRELAND LTD (IPSE-N)

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Patent Family (33 patents, 34 countries)

Patent

Application

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#### Alerting Abstract WO A2

A novel compsn. (I) comprises a polyester (II) contg. one or more free  
 COOH gps. (pref. with COOH:OH ratio above 1) with a biogenic polypeptide  
 (III) contg. at least one effective ionogenic amine. At least 50 wt.% of  
 (III) in the compsn. is ionically conjugated with (II).

USE/ADVANTAGE - (I) is a sustained release form of (III), specifically  
 capable of releasing a therapeutically effective dose of (III) in vivo for  
 at least 7 days. (I) is formulated e.g. as injectable microspheres or  
 microparticles or implantable films or rods. Admin. may be s.c., i.m.,  
 parenteral, by suppository or nasal. Biodegradable or absorbable polyesters  
 (II) can be tailored to provide controlled chain hydrolysis and  
 release characteristics by appropriate choice of monomer and mol. wts., and  
 show max. binding capacity for oligopeptides, polypeptides or proteins  
 (III) having net positive charge at physiological pH. Loading of (III) can

be maximised by choice of (II). (I) are easily shaped (e.g. into microparticles) without use of multiphase emulsions or non-aq. 2-phase systems.